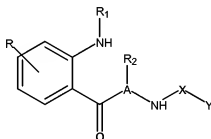


# Amendments to the Claims

This listing of claims will replace all prior versions, and listings, of claims in the application:

## Listing of Claims:

1. (Currently amended) A compound having the formula (I):



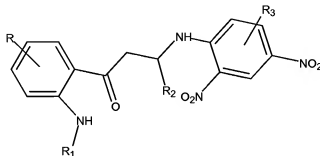
(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C<sub>1-6</sub> alkylene; R, R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, X is >C<sub>1-6</sub> alkylene, >C=O or >C=S or a single bond; and Y is halo, haloalkyl, a heterocyclic group, a heteroaryl group, ~~alkyl~~, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, azido, carboxy, carbonylamido, or styryl, wherein where Y is a

ring it may be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or -COQ, where Q is hydroxy, C<sub>1-6</sub> alkoxy, amino, mono- C<sub>1-6</sub> alkylamino, di- C<sub>1-6</sub> alkylamino, hydroxylamino, C<sub>1-4</sub> alkoxyamino or aryl-C<sub>1-4</sub>-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH<sub>2</sub>CH<sub>2</sub>, R is 5-methoxy, R<sub>1</sub> is H or formyl and R<sub>2</sub> is H, (b) the compounds where the moiety -A(R<sub>2</sub>)-NH-X-Y is -CH<sub>2</sub>CH(COQ)-NH<sub>2</sub> or -CH(haloalkyl)-CH(COQ)-NH<sub>2</sub>, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, both R<sub>1</sub> and R<sub>2</sub> are H and R is 4-halo where the moiety -CO-A(R<sub>2</sub>)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring.

2. (Previously presented) A compound according to claim 28, having formula  
(II):



(II)

wherein R is hydrogen, methyl or methoxy, R<sub>1</sub> is hydrogen or formyl, R<sub>2</sub> is hydrogen or carboxyl, and R<sub>3</sub> is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, or a stereoisomer pharmaceutically acceptable salt thereof.

3. (Previously presented) A compound according to claim 1, where in formula (I), Y is 2-furyl, 2-dihydrofuryl, or 2-tetrahydrofuryl, any of which may be substituted by 1-2 substituents selected from C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, OH, nitro, or Y is styryl which is ring-substituted by up to two substituents independently selected from among halogen, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, OH, nitro, aryl, aryl-C<sub>1-4</sub> alkyl, or aryl-C<sub>1-4</sub> alkoxy, or a stereoisomer or pharmaceutically acceptable salt thereof.

4. (Previously presented) A compound according to claim 1, or a stereoisomer or pharmaceutically acceptable salt thereof, where in formula (I), R<sub>2</sub> is hydrogen and at least one of the following conditions applies, namely:

R is 5-methoxy; or

A is CH<sub>2</sub>CH<sub>2</sub> or

R<sub>1</sub> is hydrogen.

5. (Previously presented) A compound according to claim 1, or a stereoisomer or pharmaceutically acceptable salt thereof, where in formula (I), X and Y are selected in combination as follows:

X is -CO- and Y is 2-furyl; or

X is -CO- and Y is 2-tetrahydrofuryl; or

X is -CH<sub>2</sub>- and Y is 2-tetrahydrofuryl; or

X is -CO- and Y is 2-acetoxyphenyl; or

X is -CO- and Y is 3,4-dihydroxystyryl or 3,4-dihydroxycinnamoyloxy.

6. (Previously presented) A compound according to claim 5, wherein at least one of the following conditions applies, namely:

R is 5-methoxy; or

A is  $\text{CH}_2\text{CH}_2$  or

A- $\text{R}_2$  is  $\text{CH}_2\text{CHCOOH}$ ; or

$\text{R}_1$  is hydrogen.

7. (Currently amended) ~~A compound according to claim 28, which is 3-(2-aminobenzoyl)-2-(2,4-dinitroanilino)propanoic acid, or a stereoisomer or pharmaceutically acceptable salt thereof.~~

8. (Currently amended) ~~A compound according to claim 28, which is 2-(2-aminobenzoyl)-N-(2,4-dinitrophenyl)ethylamine, or a pharmaceutically acceptable salt thereof.~~

9. (Original) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound as defined in claim 1 in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

10. (Original) A pharmaceutical formulation according to claim 9, which is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;
- (iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolytics, tranquilizers, analgesics, and anti-parkinson's drugs.

11. (Previously presented) A method of treating a subject suffering from a physiological condition selected from the group consisting of stroke, ischemia, CNS trauma, hypoglycemia and surgery, CNS disorders, overstimulation of the excitatory amino acids, psychiatric disorders, epilepsy or other convulsive disorder, anxiety, psychosis, senile dementia, multi-infarct dementia, chronic pain (analgesia), glaucoma, CMV retinitis, urinary incontinence, impotence, cardiovascular disorders, blood coagulation, neuropathy, anti-inflammatory, chronobiological-related disorders, seasonal-related disorders, endocrine indications, precocious puberty, premenstrual syndrome, hyperprolactinemia, growth hormone deficiency, neoplastic disease, benign

or tumor prostate growth, immune system disorders, conditions associated with senescence, ophthalmological diseases, cluster headache, migraine, or weight gain disorders, which comprises administering a therapeutically effective amount of a compound of formula I or a stereoisomer or a pharmaceutically acceptable salt thereof as defined in claim 1.

12. (Previously presented) The method of claim 11, wherein said compound or stereoisomer or salt is administered in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

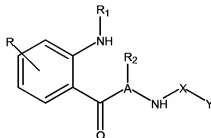
13. (Previously presented) The method of claim 12, wherein said pharmaceutical formulation is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;

(iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolytics, tranquilizers, analgesics, and anti-Parkinson's drugs.

14. (Previously presented) A method for regulating fertility, puberty or pelage color in animal breeding, which comprises administering to a breeding animal an effective amount of a compound of formula I or a stereoisomer or pharmaceutically acceptable salt as defined in claim 1.

15. (Currently amended) A compound having the formula (I):

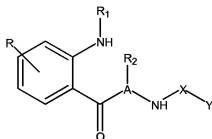


or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C<sub>1-6</sub> alkylene; R, R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, X is >C<sub>1-6</sub> alkylene, >C=O or >C=S; and Y is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, ~~alkyl~~; alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, azido, carboxy, carbonylamido, or styryl, wherein where Y is a ring it may be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl,

alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol or -COQ, where Q is hydroxy, C<sub>1-6</sub> alkoxy, amino, mono- C<sub>1-6</sub> alkylamino, di- C<sub>1-6</sub> alkylamino, hydroxylamino, C<sub>1-4</sub> alkoxyamino or aryl-C<sub>1-4</sub>-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH<sub>2</sub>CH<sub>2</sub>, R is 5-methoxy, R<sub>1</sub> is H or formyl and R<sub>2</sub> is H and (b) the compounds where the moiety -A(R<sub>2</sub>)-NH-X-Y is -CH<sub>2</sub>CH(COQ)-NH<sub>2</sub> or -CH(haloalkyl)-CH(COQ)-NH<sub>2</sub>

16. (Previously presented) A compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C<sub>1-6</sub> alkylene; R, R<sub>1</sub> and R<sub>2</sub> are independently halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, and each of R<sub>1</sub> and R<sub>2</sub> independently also can be hydrogen, X is >C<sub>1-6</sub> alkylene, >C=O, >C=S or a single bond; and Y is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, or styryl, wherein where Y is a ring it may be ring-

substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol or -COQ, where Q is hydroxy, C<sub>1-6</sub> alkoxy, amino, mono- C<sub>1-6</sub> alkylamino, di- C<sub>1-6</sub> alkylamino, hydroxylamino, C<sub>1-4</sub> alkoxyamino or aryl-C<sub>1-4</sub>-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH<sub>2</sub>CH<sub>2</sub>, R is 5-methoxy, R<sub>1</sub> is H or formyl and R<sub>2</sub> is H, (b) the compounds where the moiety -A(R<sub>2</sub>)-NH-X-Y is -CH<sub>2</sub>CH(COQ)-NH<sub>2</sub> or -CH(haloalkyl)-CH(COQ)-NH<sub>2</sub>, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, both R<sub>1</sub> and R<sub>2</sub> are H and R is 4-halo where the moiety -CO-A(R<sub>2</sub>)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring.

17. (Previously presented) A compound according to claim 15 or 16, where in formula (I), Y is 2-furyl, 2-dihydrofuryl or 2-tetrahydrofuryl, any of which may be substituted by 1-2 substituents selected from C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, OH, nitro, or Y is hydrogen or styryl which is ring-substituted by up to two substituents independently selected from among halogen, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, OH, nitro, aryl, aryl-C<sub>1-4</sub> alkyl, or aryl-C<sub>1-4</sub> alkoxy, or a stereoisomer or pharmaceutically acceptable salt thereof.

18. (Previously presented) A compound according to claim 1, or a stereoisomer or pharmaceutically acceptable salt thereof, where in formula (I) A-R<sub>2</sub> is CH<sub>2</sub>CHCOOH.

19. (Previously presented) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound as defined in claim 15 or 16 in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

20. (Previously presented) A pharmaceutical formulation according to claim 19, which is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;
- (iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolytics, tranquilizers, analgesics, and antiparkinson's drugs.

21. (Previously presented) A method of treating a subject suffering from a physiological condition selected from the group consisting of stroke, ischemia, CNS trauma, hypoglycemia and surgery, CNS disorders, overstimulation of the excitatory amino acids, psychiatric disorders, epilepsy or other convulsive disorder, anxiety, psychosis, senile dementia, multi-infarct dementia, chronic pain (analgesia), glaucoma, CMV retinitis, urinary incontinence, impotence, cardiovascular disorders, blood coagulation, neuropathy, anti-inflammatory, chronobiological-related disorders, seasonal-related disorders, endocrine indications, precocious puberty, premenstrual syndrome, hyperprolactinemia, growth hormone deficiency, neoplastic disease, benign or tumor prostate growth, immune system disorders, conditions associated with senescence, ophthalmological diseases, cluster headache, migraine, or weight gain disorders, which comprises administering a therapeutically effective amount of a compound of formula I or a stereoisomer or a pharmaceutically acceptable salt thereof as defined in claim 15 or 16.

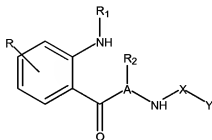
22. (Previously presented) The method of claim 21, wherein said compound or stereoisomer or salt is administered in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

23. (Previously presented) The method of claim 22, wherein said pharmaceutical formulation is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;
- (iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolytics, tranquilizers, analgesics, and anti-Parkinson's drugs.

24. (Previously presented) A method for regulating fertility, puberty or pelage color in animal breeding, which comprises administering to a breeding animal an effective amount of a compound of formula I or a stereoisomer or pharmaceutically acceptable salt as defined in claim 15 or 16.

25. (Previously presented) A compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C<sub>1-6</sub> alkylene;

R is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol;

R<sub>1</sub> is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol;

R<sub>2</sub> is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano,

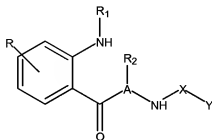
cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carbonylamido, S-alkyl or alkylthiol;

X is  $>C_{1-6}$  alkylene,  $>C=O$  or  $>C=S$  or a single bond; and

Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, or styryl;

wherein where Y is a ring it can be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or  $-COQ$ , where Q is hydroxy,  $C_{1-6}$  alkoxy, amino, mono-  $C_{1-6}$  alkylamino, di-  $C_{1-6}$  alkylamino, hydroxylamino,  $C_{1-4}$  alkoxyamino or aryl- $C_{1-4}$ -alkoxyamino; but excluding (a) the compounds where simultaneously X is  $>C=O$ , Y is methyl, A is  $CH_2CH_2$ , R is 5-methoxy,  $R_1$  is H or formyl and  $R_2$  is H, (b) the compounds where the moiety  $-A(R_2)-NH-X-Y$  is  $-CH_2CH(COQ)-NH_2$  or  $-CH(haloalkyl)-CH(COQ)-NH_2$ , and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is  $CH_2CH_2CH_2$ , both  $R_1$  and  $R_2$  are H and R is 4-halo where the moiety  $-CO-A(R_2)-NH-X-Y$  is deemed to be in the 1-position of the depicted benzene ring.

26. (Currently amended) A compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C<sub>1-6</sub> alkylene;

R and R<sub>1</sub>, independently, are hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol;

R<sub>2</sub> is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carbonylamido, S-alkyl or alkylthiol;

X is >C<sub>1-6</sub> alkylene, >C=O or >C=S; and

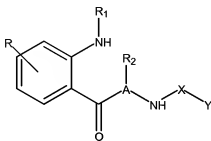
Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, ~~alkyl~~, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido,

guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, or styryl;

wherein where Y is a ring it can be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or -COQ, where Q is hydroxy, C<sub>1-6</sub> alkoxy, amino, mono- C<sub>1-6</sub> alkylamino, di- C<sub>1-6</sub> alkylamino, hydroxylamino, C<sub>1-4</sub> alkoxyamino or aryl-C<sub>1-4</sub>-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH<sub>2</sub>CH<sub>2</sub>, R is 5-methoxy, R<sub>1</sub> is H or formyl and R<sub>2</sub> is H, (b) the compounds where the moiety -A(R<sub>2</sub>)-NH-X-Y is -CH<sub>2</sub>CH(COQ)-NH<sub>2</sub> or -CH(haloalkyl)-CH(COQ)-NH<sub>2</sub>, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, both R<sub>1</sub> and R<sub>2</sub> are H and R is 4-halo where the moiety -CO-A(R<sub>2</sub>)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring.

27. (Previously presented) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound as defined in claim 25 in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

28. (Currently amended) A compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C<sub>1-6</sub> alkylene; R, R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, X is >C<sub>1-6</sub> alkylene, >C=O or >C=S or a single bond; and Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, azido, carboxy, carbonylamido, or styryl, wherein where Y is a ring it is ring-substituted by up to four substituents independently selected from among halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol,

or -COQ, where Q is hydroxy, C<sub>1-6</sub> alkoxy, amino, mono- C<sub>1-6</sub> alkylamino, di- C<sub>1-6</sub> alkylamino, hydroxylamino, C<sub>1-4</sub> alkoxyamino or aryl-C<sub>1-4</sub>-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH<sub>2</sub>CH<sub>2</sub>, R is 5-methoxy, R<sub>1</sub> is H or formyl and R<sub>2</sub> is H, (b) the compounds where the moiety -A(R<sub>2</sub>)-NH-X-Y is -CH<sub>2</sub>CH(COQ)-NH<sub>2</sub> or -CH(haloalkyl)-CH(COQ)-NH<sub>2</sub>, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, both R<sub>1</sub> and R<sub>2</sub> are H and R is 4-halo where the moiety -CO-A(R<sub>2</sub>)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring.

29. (Previously presented) A compound according to claim 28, or a stereoisomer or pharmaceutically acceptable salt thereof, where in formula (I), R<sub>2</sub> is hydrogen and at least one of the following conditions applies, namely:

R is 5-methoxy; or

A is CH<sub>2</sub>CH<sub>2</sub> or

R<sub>1</sub> is hydrogen; or

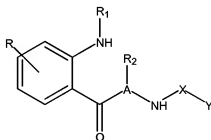
X is a single bond and Y is a 2,4-dinitrophenyl group.

30. (Previously presented) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound as defined in claim 28 in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

31. (Previously presented) A pharmaceutical formulation according to claim 30, which is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;
- (iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolytics, tranquilizers, analgesics, and anti-Parkinson's drugs.

32. (Currently amended) A compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

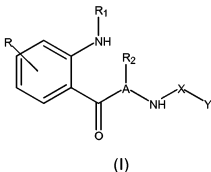
A is C<sub>1-6</sub> alkylene; R, R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, X is >C<sub>1-6</sub> alkylene, >C=O or >C=S; and Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, azido, carboxy, carbonylamido, or styryl, wherein where Y is a ring it can be ring-substituted by up to four substituents independently selected from among halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or -COQ, where Q is hydroxy, C<sub>1-6</sub> alkoxy, amino, mono- C<sub>1-6</sub> alkylamino, di- C<sub>1-6</sub> alkylamino, hydroxylamino, C<sub>1-4</sub> alkoxyamino or aryl-C<sub>1-4</sub>-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH<sub>2</sub>CH<sub>2</sub>, R is 5-methoxy, R<sub>1</sub> is H or formyl and R<sub>2</sub> is H, (b) the compounds where the moiety -A(R<sub>2</sub>)-NH-X-Y is -CH<sub>2</sub>CH(COQ)-NH<sub>2</sub> or -CH(haloalkyl)-CH(COQ)-NH<sub>2</sub>, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, both R<sub>1</sub> and R<sub>2</sub> are H and R is 4-halo where the moiety -CO-A(R<sub>2</sub>)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring.

33. (Previously presented) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound as defined in claim 32 in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

34. (Previously presented) A pharmaceutical formulation according to claim 33, which is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;
- (iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolytics, tranquilizers, analgesics, and anti-Parkinson's drugs.

35. (Currently amended) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound having the formula (I):



or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C<sub>1-6</sub> alkylene; R, R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, X is >C<sub>1-6</sub> alkylene, >C=O or >C=S or a single bond; and Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, azido, carboxy, carbonylamido, or styryl, wherein where Y is a ring it may be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro,

amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or -COQ, where Q is hydroxy, C<sub>1-6</sub> alkoxy, amino, mono- C<sub>1-6</sub> alkylamino, di- C<sub>1-6</sub> alkylamino, hydroxylamino, C<sub>1-4</sub> alkoxyamino or aryl-C<sub>1-4</sub>-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH<sub>2</sub>CH<sub>2</sub>, R is 5-methoxy, R<sub>1</sub> is H or formyl and R<sub>2</sub> is H, (b) the compounds where the moiety -A(R<sub>2</sub>)-NH-X-Y is -CH<sub>2</sub>CH(COQ)-NH<sub>2</sub> or -CH(haloalkyl)-CH(COQ)-NH<sub>2</sub>, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, both R<sub>1</sub> and R<sub>2</sub> are H and R is 4-halo where the moiety -CO-A(R<sub>2</sub>)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring;

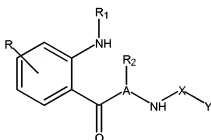
in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

36. (Previously presented) A pharmaceutical formulation according to claim 35, which is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;

(iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolytics, tranquilizers, analgesics, and anti-Parkinson's drugs.

37. (Currently amended) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C<sub>1-6</sub> alkylene;

R and R<sub>1</sub>, independently, are hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol;

R<sub>2</sub> is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano,

cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carbonylamido, S-alkyl or alkylthiol;

X is  $>C_{1-6}$  alkylene,  $>C=O$  or  $>C=S$  or a single bond; and

Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, ~~alkyl~~, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, or styryl;

wherein where Y is a ring it can be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or  $-COQ$ , where Q is hydroxy,  $C_{1-6}$  alkoxy, amino, mono-  $C_{1-6}$  alkylamino, di-  $C_{1-6}$  alkylamino, hydroxylamino,  $C_{1-4}$  alkoxyamino or aryl- $C_{1-4}$ -alkoxyamino; but excluding (a) the compounds where simultaneously X is  $>C=O$ , Y is methyl, A is  $CH_2CH_2$ , R is 5-methoxy,  $R_1$  is H or formyl and  $R_2$  is H, (b) the compounds where the moiety  $-A(R_2)-NH-X-Y$  is  $-CH_2CH(COQ)-NH_2$  or  $-CH(haloalkyl)-CH(COQ)-NH_2$ , and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is  $CH_2CH_2CH_2$ , both  $R_1$  and  $R_2$  are H and R is 4-halo where the moiety  $-CO-A(R_2)-NH-X-Y$  is deemed to be in the 1-position of the depicted benzene ring;

in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.